

L Number	Hits	Search Text	DB	Time stamp
1	16	imidazo with (quinolin or quinoline) with amine	USPAT; US-PGPUB	2003/05/13 16:23

EAST  
10/027, 218

10/ 027,218

Welcome to STN International! Enter x:x

LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 Apr 08 "Ask CAS" for self-help around the clock  
NEWS 3 Jun 03 New e-mail delivery for search results now available  
NEWS 4 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
NEWS 5 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
now available on STN  
NEWS 6 Aug 26 Sequence searching in REGISTRY enhanced  
NEWS 7 Sep 03 JAPIO has been reloaded and enhanced  
NEWS 8 Sep 16 Experimental properties added to the REGISTRY file  
NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
NEWS 10 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
NEWS 11 Oct 24 BEILSTEIN adds new search fields  
NEWS 12 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN  
NEWS 13 Nov 18 DKILIT has been renamed APOLLIT  
NEWS 14 Nov 25 More calculated properties added to REGISTRY  
NEWS 15 Dec 04 CSA files on STN  
NEWS 16 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date  
NEWS 17 Dec 17 TOXCENTER enhanced with additional content  
NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN  
NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX,  
ENERGY, INSPEC  
NEWS 20 Feb 13 CANCERLIT is no longer being updated  
NEWS 21 Feb 24 METADEX enhancements  
NEWS 22 Feb 24 PCTGEN now available on STN  
NEWS 23 Feb 24 TEMA now available on STN  
NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation  
NEWS 25 Feb 26 PCTFULL now contains images  
NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results  
NEWS 27 Mar 19 APOLLIT offering free connect time in April 2003  
NEWS 28 Mar 20 EVENTLINE will be removed from STN  
NEWS 29 Mar 24 PATDPAFULL now available on STN  
NEWS 30 Mar 24 Additional information for trade-named substances without  
structures available in REGISTRY  
NEWS 31 Apr 11 Display formats in DGENE enhanced  
NEWS 32 Apr 14 MEDLINE Reload  
NEWS 33 Apr 17 Polymer searching in REGISTRY enhanced  
NEWS 34 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS  
NEWS 35 Apr 21 New current-awareness alert (SDI) frequency in  
WPIDS/WPINDEX/WPIX  
NEWS 36 Apr 28 RDISCLOSURE now available on STN  
NEWS 37 May 05 Pharmacokinetic information and systematic chemical names  
added to PHAR  
  
NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN

10/ 027,218

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:36:29 ON 13 MAY 2003

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:36:42 ON 13 MAY 2003  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAY 2003 HIGHEST RN 514787-04-3  
DICTIONARY FILE UPDATES: 12 MAY 2003 HIGHEST RN 514787-04-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

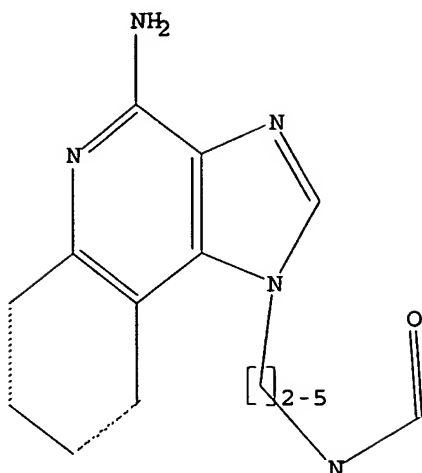
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>  
Uploading 10027218.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR

10/ 027,218



Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 13:37:09 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 680 TO ITERATE .

100.0% PROCESSED 680 ITERATIONS  
SEARCH TIME: 00.00.01

511 ANSWERS

L2 511 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

FILE 'CAPLUS' ENTERED AT 13:37:32 ON 13 MAY 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 13 May 2003 VOL 138 ISS 20

FILE LAST UPDATED: 12 May 2003 (20030512/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3

8 L2

10/ 027,218

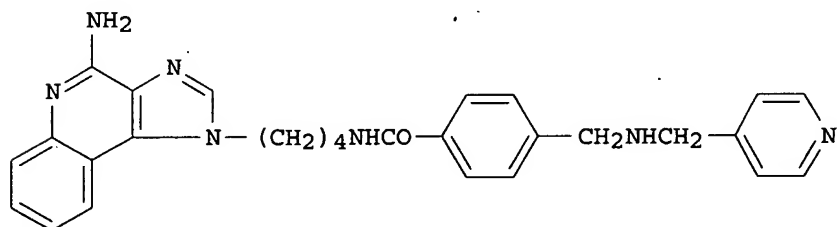
=> d 13 1- ibib abs hitstr  
YOU HAVE REQUESTED DATA FROM 8 ANSWERS - CONTINUE? Y/(N):n

=> d 13 1- ibib abs fhitstr  
YOU HAVE REQUESTED DATA FROM 8 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:449990 CAPLUS  
DOCUMENT NUMBER: 137:28292  
TITLE: Screening method for identifying compounds that  
selectively induce interferon alpha  
INVENTOR(S): Tomai, Mark A.; Vasilakos, John P.  
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA  
SOURCE: PCT Int. Appl., 22 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046749	A2	20020613	WO 2001-US46698	20011206
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002032498	A5	20020618	AU 2002-32498	20011206
US 2002110840	A1	20020815	US 2001-13193	20011206
PRIORITY APPLN. INFO.:			US 2000-254229P P	20001208
			WO 2001-US46698 W	20011206

GI



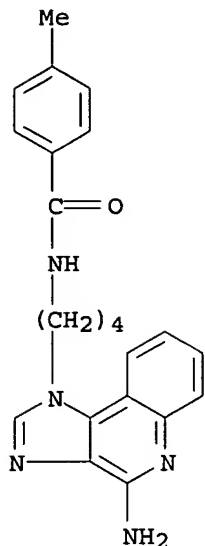
I

AB Methods for screening for compds. that selectively induce IFN-.alpha. prodn. and methods for ameliorating conditions in a patient using a small mol. that selectively induces the prodn. of IFN-.alpha. are disclosed. Cytokine expression was detd. in various cell types (PBMC, CD14+ cells, pDC2-enriched cells, and DC11c+ blood DC) stimulated with nonselective compd. resiquimod or with selective compd. I.

IT 313348-15-1  
RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(screening method for identifying compds. that selectively induce interferon alpha)

10/ 027,218

RN 313348-15-1 CAPLUS  
CN Benzamide, N-[4-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-4-methyl-  
(9CI) (CA INDEX NAME)



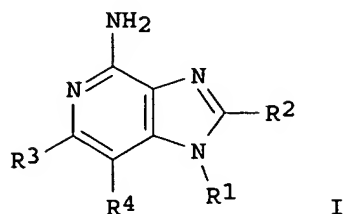
L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:360094 CAPLUS  
DOCUMENT NUMBER: 134:366874  
TITLE: Preparation of dye-labeled imidazoquinolines and  
analogs as immunomodulators  
INVENTOR(S): Wei, Ai-Ping; Tomai, Mark A.; Rice, Michael J.  
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA  
SOURCE: PCT Int. Appl., 31 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034709	A1	20010517	WO 2000-US30366	20001103
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6376669	B1	20020423	US 2000-705072	20001102
EP 1228147	A1	20020807	EP 2000-980282	20001103
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2002120141	A1	20020829	US 2002-78645	20020219
NO 2002001974	A	20020628	NO 2002-1974	20020425
PRIORITY APPLN. INFO.:			US 1999-163724P	P 19991105
			US 2000-705072	A 20001102

OTHER SOURCE(S) :  
GI

MARPAT 134:366874



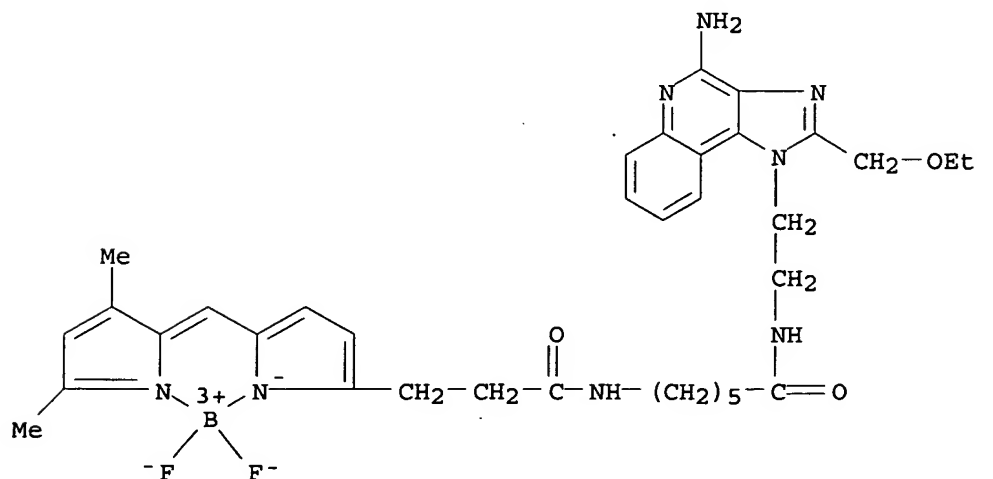
AB Title compds. [I; R1 = ZR; R = dye residue; R2 = H, (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R3,R4 = H, halo, alkyl, alkoxy, etc.; R3R4 = atoms to complete a ring; Z = spacer group], useful, inter alia, for detg. the binding and/or receptor sites of the mols., were prepd. Thus, 3-nitro-4-quinolinol was aminated by H2N(CH2)4CHCO2CMe3 and the reduced product cyclocondensed with MeOCH2CH2COCl to give, in 3 addnl. steps, I [R1 = (CH2)4NHR, R2 = CH2CH2OMe, R3R4 = CH:CHCH:CH] (II; R = H) which was amidated by fluorescein 5-isothiocyanate to give II (R = CSNHZ1R5, R5 = 6-hydroxy-3-oxo-3H-xanthen-9-yl, Z1 = 3-carboxy-1,4-phenylene). Data for biol. activity of 1 prepd. I were given.

IT 340128-24-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of dye-labeled imidazoquinolines and analogs as immunomodulators)

RN 340128-24-7 CAPLUS

CN Boron, [N-[6-[[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethyl]amino]-6-oxohexyl]-5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-.kappa.N)methyl]-1H-pyrrole-2-propanamidato-.kappa.N1]difluoro-, (T-4)-(9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

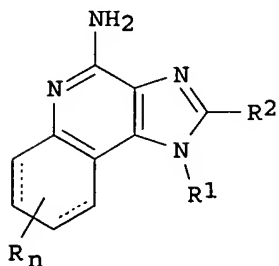
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS

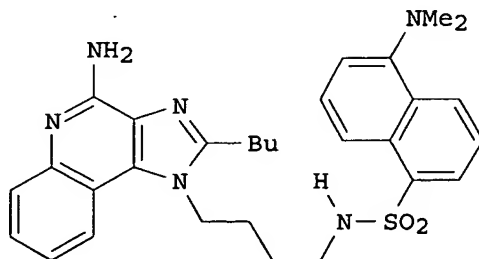
ACCESSION NUMBER: 2000:900462 CAPLUS

DOCUMENT NUMBER: 134:56667  
 TITLE: Preparation of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers  
 INVENTOR(S): Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.  
 PATENT ASSIGNEE(S): 3m Innovative Properties Company, USA  
 SOURCE: PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076519	A1	20001221	WO 2000-US15722	20000608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6331539	B1	20011218	US 2000-589216	20000607
BR 2000011433	A	20020305	BR 2000-11433	20000608
EP 1198233	A1	20020424	EP 2000-938211	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003501474	T2	20030114	JP 2001-502852	20000608
EE 200100669	A	20030217	EE 2001-669	20000608
NO 2001005502	A	20020207	NO 2001-5502	20011109
PRIORITY APPLN. INFO.:				
			US 1999-138365P	P 19990610
			US 2000-589216	A 20000607
			WO 2000-US15722	W 20000608
OTHER SOURCE(S): MARPAT 134:56667				
GI				



I



II

AB The title compds. [I;  $R_1$  = alkyl $NR_3SO_2XR_4$ , alkenyl $NR_3SO_2XR_4$  (wherein  $X$  = a bond,  $NR_5$ ;  $R_3$  = H, alkyl;  $R_4$  = (un)substituted aryl, heteroaryl, alkyl, etc.;  $R_5$  = H, alkyl;  $R_4$  and  $R_5$  can combine to form 3-7 membered (un)substituted heterocyclic ring);  $R_2$  = H, alkyl, aryl, etc.;  $R$  = alkyl, alkoxy, halo,  $CF_3$ ;  $n$  = 0-4], useful as immune response modifiers, were prepd. Thus, reacting 5-dimethylamino-1-naphthalenesulfonyl chloride with 1-(4-aminobutyl)-2-butyl-1H-imidazo[4,5-c]quinolin-4-amine in the presence of  $N,N$ -diisopropylethylamine in  $CH_2Cl_2$  afforded the naphthalenesulfonamide II which induced interferon  $\alpha$  and TNF $\alpha$  biosynthesis in human



cells at 0.12 .mu.M and 3.33 .mu.M, resp. The compds. I can induce the biosynthesis of various cytokines such as interferon .alpha. and TNF.alpha. (data given), and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

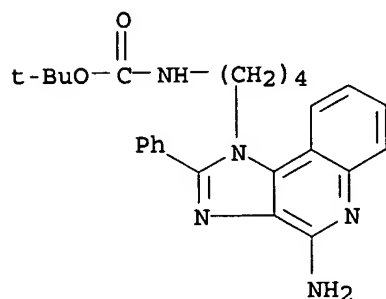
IT 313350-26-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers)

RN 313350-26-4 CAPLUS

CN Carbamic acid, [4-(4-amino-2-phenyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:900461 CAPLUS

DOCUMENT NUMBER: 134:56666

TITLE: Preparation of urea substituted imidazoquinolines as immune response modifiers

INVENTOR(S): Crooks, Stephen L.; Merrill, Bryon A.; Rice, Michael J.

PATENT ASSIGNEE(S): 3m Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

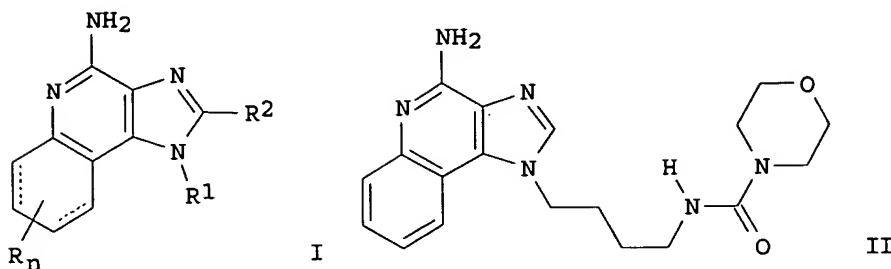
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076518	A1	20001221	WO 2000-US15656	20000608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6541485	B1	20030401	US 2000-589236	20000607
EP 1198232	A1	20020424	EP 2000-938205	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003501473	T2	20030114	JP 2001-502851	20000608

10/ 027,218

EE 200100668	A	20030217	EE 2001-668	20000608
NO 2001005504	A	20020207	NO 2001-5504	20011109
PRIORITY APPLN. INFO.:			US 1999-138365P	P 19990610
			US 2000-589236	A 20000607
			WO 2000-US15656	W 20000608

OTHER SOURCE(S): MARPAT 134:56666  
GI



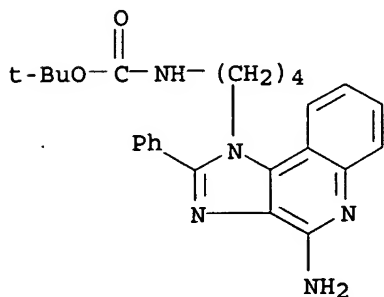
AB The title compds. [I; R<sub>1</sub> = alkylNR<sub>3</sub>CYNR<sub>5</sub>XR<sub>4</sub>, alkenylNR<sub>3</sub>CYNR<sub>5</sub>XR<sub>4</sub> (wherein Y = O, S; X = a bond, CO, SO<sub>2</sub>; R<sub>3</sub> = H, alkyl; R<sub>4</sub> = (un)substituted aryl, heteroaryl, alkyl, etc.; R<sub>5</sub> = H, alkyl; R<sub>4</sub> and R<sub>5</sub> can combine to form 3-7 membered (un)substituted heterocyclic ring); R<sub>2</sub> = H, alkyl, aryl, etc.; R = alkyl, alkoxy, halo, CF<sub>3</sub>; n = 0-4], useful as immune response modifiers, were prepd. Thus, reacting 4-morpholinecarbonyl chloride with 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine in pyridine afforded II which induced interferon .alpha. biosynthesis in human cells at 3.33 .mu.M. The compds. I can induce the biosynthesis of various cytokines such as interferon .alpha. and TNF.alpha. (data given), and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT 313350-26-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of urea substituted imidazoquinolines as immune response modifiers)

RN 313350-26-4 CAPLUS

CN Carbamic acid, [4-(4-amino-2-phenyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:900448 CAPLUS

DOCUMENT NUMBER: 134:56665

TITLE: Preparation of amide substituted imidazoquinolines as immune response modifiers

INVENTOR(S): Coleman, Patrick L.; Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.

PATENT ASSIGNEE(S): 3m Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

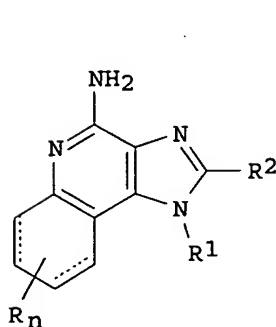
DOCUMENT TYPE: Patent

LANGUAGE: English

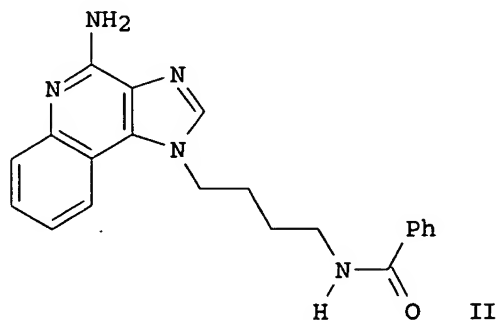
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076505	A1	20001221	WO 2000-US15702	20000608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6451810	B1	20020917	US 2000-589580	20000607
EP 1187613	A1	20020320	EP 2000-950215	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003501466	T2	20030114	JP 2001-502838	20000608
EE 200100670	A	20030217	EE 2001-670	20000608
NO 2001005503	A	20020208	NO 2001-5503	20011109
PRIORITY APPLN. INFO.:				
			US 1999-138365P	P 19990610
			US 2000-589580	A 20000607
			WO 2000-US15702	W 20000608

OTHER SOURCE(S): MARPAT 134:56665  
GI

I



II

AB The title compds. [I; R<sub>1</sub> = alkylNR<sub>3</sub>COR<sub>4</sub>, alkenylNR<sub>3</sub>COR<sub>4</sub> (wherein R<sub>4</sub> = (un)substituted aryl, heteroaryl, alkyl, etc.); R<sub>2</sub> = H, alkyl, alkenyl, etc.; R = alkyl, alkoxy, halo, CF<sub>3</sub>; n = 0-4] and their pharmaceutically

10/ 027,218

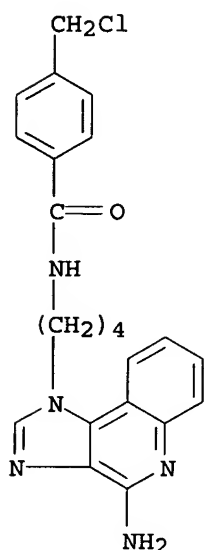
acceptable salts, useful as immune response modifiers, were prepd. Thus, reacting 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine with benzoyl chloride in pyridine afforded the benzamide II which showed the lowest concn. of 0.37 .mu.M to induce interferon in human cells. The compds. I can induce the biosynthesis of various cytokines (data given for interferon .alpha. and TNF.alpha.) and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT 313347-45-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of amide substituted imidazoquinolines as immune response modifiers)

RN 313347-45-4 CAPLUS

CN Benzamide, N-[4-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-4-(chloromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:518673 CAPLUS

DOCUMENT NUMBER: 131:175067

TITLE: Topical preparations containing interferon-inducing amides

INVENTOR(S): Iizuka, Takao; Nanba, Ryoichi; Watanabe, Eiji; Ueda, Mieko

PATENT ASSIGNEE(S): Terumo Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

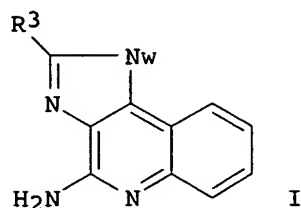
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11222432	A2	19990817	JP 1998-21652	19980203
PRIORITY APPLN. INFO.:			JP 1998-21652	19980203

10/ 027,218

OTHER SOURCE(S) :  
GI

MARPAT 131:175067



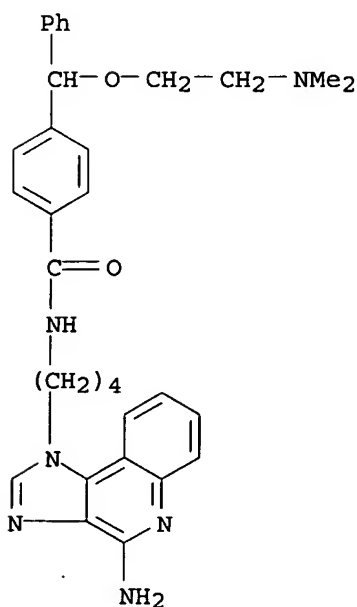
AB The preps. contain amides I [R<sub>1</sub>, R<sub>2</sub> = C<sub>1</sub>-6 (branched) alkyl; R<sub>1</sub>R<sub>2</sub> may form ring; R<sub>1</sub> or R<sub>2</sub> may be linked to X, Y, or any of the CH<sub>2</sub>; X, Y = O, S<sub>Op</sub> (p = 0-2), NR<sub>4</sub>, R<sub>5</sub>C:CR<sub>6</sub>, CR<sub>7</sub>R<sub>8</sub>, (un)substituted C<sub>6</sub>H<sub>4</sub>; R<sub>4</sub>-R<sub>8</sub> = H, lower alkyl, OH, lower alkoxy, NH<sub>2</sub>, etc.; Z = (un)substituted arom. ring, heterocyclyl; R<sub>3</sub> = H, (un)substituted Ph, lower (un)substituted alkyl; w = (CH<sub>2</sub>)<sub>n</sub>NHCO(CH<sub>2</sub>)<sub>m</sub>Z<sub>1</sub>(CH<sub>2</sub>)<sub>k</sub>Y<sub>j</sub>(CH<sub>2</sub>)<sub>i</sub>X<sub>h</sub>(CH<sub>2</sub>)<sub>g</sub>NR<sub>1</sub>R<sub>2</sub>; g, i, k = 0-6; h, j, l = 0, 1; m = 0-5; n = 2-12] or their salts, dissoln./absorption accelerators, and bases. The preps. are useful for treatment of atopic dermatitis. An ointment contg. I (R<sub>1</sub> = R<sub>2</sub> = Me, g = 2, X<sub>h</sub> = O, i, k, m = 0, Y<sub>j</sub> = CHPh, Z<sub>1</sub> = 4-C<sub>6</sub>H<sub>4</sub>, n = 4, R<sub>3</sub> = H) and SP 20 (sorbitan monolaurate) showed good bioavailability.

IT 210304-22-6P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(topical preps. contg. interferon-inducing amides for treatment of atopic dermatitis)

RN 210304-22-6 CAPLUS

CN Benzamide, N-[4-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-4-[[2-(dimethylamino)ethoxy]phenylmethyl]- (9CI) (CA INDEX NAME)



10/ 027,218

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:490641 CAPLUS  
DOCUMENT NUMBER: 129:122665  
TITLE: Preparation of novel amide derivatives as drugs  
INVENTOR(S): Nanba, Ryouichi; Iizuka, Takao; Ishii, Takeo  
PATENT ASSIGNEE(S): Terumo K. K., Japan; Nanba, Ryouichi; Iizuka, Takao; Ishii, Takeo  
SOURCE: PCT Int. Appl., 118 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9830562	A1	19980716	WO 1998-JP5	19980106
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 894797	A1	19990203	EP 1998-900159	19980106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6069149	A	20000530	US 1998-171521	19981123
PRIORITY APPLN. INFO.:			JP 1997-2375	19970109
			WO 1998-JP5	19980106
OTHER SOURCE(S):	MARPAT 129:122665			
GI				

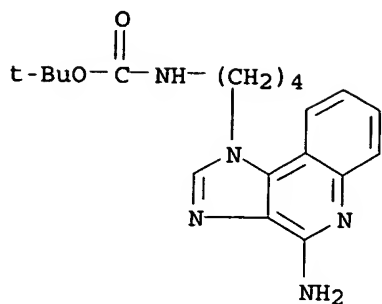
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. (I; R1, R2 = branched C1-6 alkyl, or may combine together to form a cyclyl; X, Y = O, NR4, CR5, etc.; R4, R5 = H, lower alkyl, etc.; Z = aryl, heterocycle, OH, alkyl, etc.; R3 = H, lower alkoxy, etc.; g, i, k = 0-6; h, i, l = 0, 1; p = 0-5; n = 2-12) are prepd. I, having an eosinophilic infiltration inhibitory effect based on a potent interferon (.alpha., .gamma.)-inducing activity and an excellent percutaneous absorbability, are useful in treating allergic inflammatory diseases such as atopic dermatitis, various tumors and viral diseases. Thus, compd. (II) (prepn. given) was cyclized with HC(OEt)3 to give the title compd. (III). I were tested and showed enhancing IFN (.alpha. and .gamma.)-inducing activity. A formulation contg. I is also prepd.

IT 210303-99-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of novel amide derivs. as drugs)

RN 210303-99-4 CAPLUS

CN Carbamic acid, [4-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

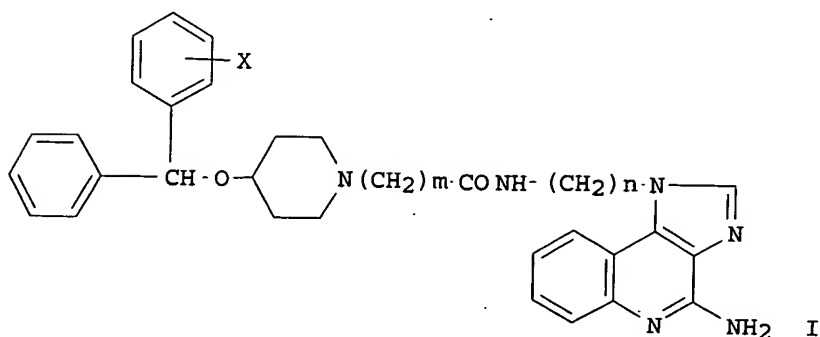


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:542873 CAPLUS  
 DOCUMENT NUMBER: 127:248129  
 TITLE: Preparation of imidazo[4,5-c]quinoline-containing amides and their intermediates and pharmaceuticals for atopic dermatitis  
 INVENTOR(S): Nanba, Ryoichi; Ishii, Takeo; Nishida, Hitoshi; Iizuka, Takao  
 PATENT ASSIGNEE(S): Terumo Corp., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09208584	A2	19970812	JP 1996-13113	19960129
PRIORITY APPLN. INFO.:			JP 1996-13113	19960129
OTHER SOURCE(S):	MARPAT 127:248129			

GI



AB Title compds. I (X = H, halo; m = 1-9; n = 2-12), which show eosinophil infiltration inhibition and antihistaminic activity, are prepd. Eight types of intermediates for I are also claimed. An EtOH soln. contg. 0.12 g 1-[3-(acrylamino)propyl]-1H-imidazo[4,5-c]quinoline-4-amine (prepn. given), 0.13 g 4-(diphenylmethoxy)piperidine.HCl, and NaHCO<sub>3</sub> was refluxed overnight to give 75 mg I (X = H, m = 2, n = 3), which in vitro inhibited histamine-induced contraction of tracheal muscle of guinea pig with IC<sub>50</sub>

10/ 027,218

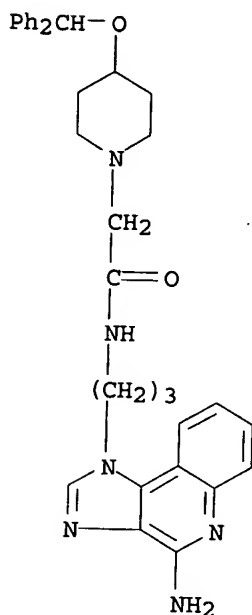
of 3.4 .times. 10-7 M, vs. 1.5 .times. 10-7 M, for diphenhydramine.HCl.  
An ointment contg. I was formulated.

IT 195712-01-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of imidazo[4,5-c]quinoline-contg. amides as pharmaceuticals for treatment of atopic dermatitis)

RN 195712-01-7 CAPLUS

CN 1-Piperidineacetamide, N-[3-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)propyl]-4-(diphenylmethoxy)- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 13:36:29 ON 13 MAY 2003)

FILE 'REGISTRY' ENTERED AT 13:36:42 ON 13 MAY 2003

L1 STRUCTURE UPLOADED

L2 511 S L1 FUL

FILE 'CAPLUS' ENTERED AT 13:37:32 ON 13 MAY 2003

L3 8 S L2

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
37.12	185.48

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-5.21	-5.21

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 13:38:41 ON 13 MAY 2003